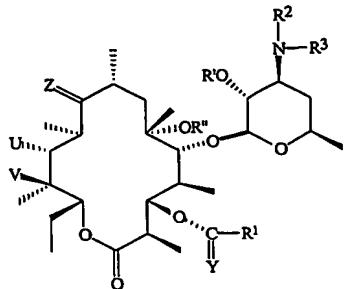


WE CLAIM:

- 1 1. A compound having the structure of Formula I

**Formula I**

2 and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable
3 solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

4 R¹ represents: lower alkyl (C₁-C₅) group, lower alkyl (C₁-C₅) having one or more halogen
5 (F, Cl, Br, I) atoms as substituent (s), lower alkyl (C₁-C₅) amino group, lower alkyl amino
6 (C₁-C₅) carbonyl group; lower alkoxy group (C₁-C₅); or five or six membered aryl or
7 heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen,
8 nitrogen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or
9 substituted by 1 to 3 substituents independently selected from the group consisting of
10 lower alkyl (C₁-C₅) group, lower alkyl (C₁-C₅) group having one or more halogen (F, Cl,
11 Br, I) atoms, lower alkoxy (C₁-C₅) groups, lower alkyl (C₁-C₅) amino group, halogen
12 atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, and cyano group;

13 R² and R³ are independently selected from: C₁-C₆ alkyl group optionally substituted with
14 halogen atoms (F, Cl, Br, I); cycloalkyl (C₃-C₇) group; or five to six membered aryl or
15 heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting
16 of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted
17 or substituted by 1 to 3 substituents independently selected from the group consisting of
18 lower alkyl (C₁-C₃), lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I)
19 atom as substituent(s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino group,
20 halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, or cyano group; the above-
21 mentioned C₁-C₆ alkyl group may be substituted by: NHCOR⁵, NHCOOR⁵, OCOR⁵,
22 COR⁵ wherein R⁵ represents lower alkyl (C₁-C₅); five to six membered aryl or heteroaryl
23 ring having 1 to 3 hetero atom independently selected from the group consisting of
24 nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or
25

31 substituted by 1 to 3 substituents independently selected from the group consisting of
32 lower alkyl (C₁-C₃), lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I)
33 atoms as substituent(s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino group,
34 halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, and cyano group; C₂-C₆ alkenyl
35 or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a group
36 consisting of NHCOR⁵, NHCOOR⁵, COR⁵, OCOR⁵ (wherein R⁵ is as defined above);
37 cycloalkyl (C₃-C₇) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero
38 atom independently selected from the group consisting of nitrogen, oxygen, and sulphur,
39 wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3
40 substituents independently selected from the group consisting of lower alkyl (C₁-C₃)
41 group, lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as
42 substituent(s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl, Br,
43 I) atoms, nitro group, hydroxy group, amino group, and cyano group;

44 R' represents hydrogen, or a hydroxy protecting group optionally selected from acetyl,
45 benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxy methyl;

46 R'' represents hydrogen, or a lower alkyl (C₁-C₃) group;

47 Y represents oxygen or sulphur;

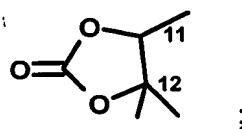
48 Z represents an oxygen atom or a group represented by NOR⁶, wherein R⁶ represents
49 hydrogen atom, alkyl (C₁-C₆) group, alkyl (C₁-C₆) amino group, phenyl or benzyl group,
50 or phenyl or benzyl group having 1 to 5 substituent independently selected from halogen
51 (F, Cl, Br, I) atoms, lower alkyl (C₁-C₃) group, hydroxy group, nitro group, cyano group,
52 or amino group;

53 U represents a hydroxy group: OR⁷, wherein R⁷ represents hydroxy protecting group
54 selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxymethyl; or
55 -NH(CH₂)_nR⁸, wherein n represents 0 to 4 and R⁸ represents five or six membered aryl or
56 heteroaryl ring having 1 to 4 hetero atom independently selected from the group consisting
57 of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted
58 or substituted by one to three substituents independently selected from the group
59 consisting of lower alkyl (C₁-C₃) group, lower alkyl (C₁-C₃) group having one or more
60 halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy (C₁-C₃) group, lower alkyl
61 (C₁-C₃) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and
62 cyano group;

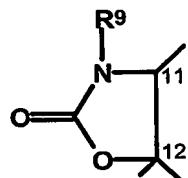
63

64 V represents: hydrogen atom; hydroxy group; or OR⁷, wherein R⁷ represents a hydroxy
 65 protecting group selected from the group consisting of acetyl, benzoyl, butyldiphenylsilyl,
 66 methylthiomethyl and methoxymethyl;

67 U and V may also together represent (with carbon atoms at the 11- and 12- positions on
 68 the erythronolide skeleton): a group represented by Formula



72 or a group represented by the Formula



77 wherein R⁹ represents: hydrogen atom; alkyl (C₁-C₆) group, wherein the alkyl (C₁-C₆)
 78 may be unsubstituted or substituted by halogen (F, Cl, Br, I) atoms, five or six membered
 79 aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group
 80 consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be
 81 unsubstituted or substituted by 1 to 3 substituents independently selected from the group
 82 consisting of lower alkyl (C₁-C₃) group, lower alkyl (C₁-C₃) group having one or more
 83 halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-
 84 C₃) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and
 85 cyano group.

1 2. A compound selected from the group consisting of:

2 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-
 3 cyclopropylmethyl)desosaminyl erythronolide A (Compound No. 1)

4 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-
 5 cyclopropylmethyl)desosaminyl erythronolide A (Compound No. 2)

6 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-
 7 cyclopropylmethyl)desosaminyl erythronolide A (Compound No. 3)

8 3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-fluoro)benzyl]desosaminyl-
 9 6-O-methyl erythronolide A (Compound No. 4)

10

- 11 3-O-(2-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-fluoro)benzyl]desosaminyl-
12 6-O-methyl erythronolide A (Compound No. 5)
- 13 3-O-(3-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-fluoro)benzyl]desosaminyl-
14 6-O-methyl erythronolide A (Compound No. 6)
- 15 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl) desosaminyl-6-
16 O-methyl erythronolide A (Compound No. 7)
- 17 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl
18 erythronolide A (Compound No. 8)
- 19 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl
20 erythronolide A (Compound No. 9)
- 21 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl erythronolide A
22 (Compound No. 10)
- 23 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminyl-6-O-
24 methyl erythronolide A (Compound No. 11)
- 25 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)
26 desosaminyl-6-O-methyl erythronolide A (Compound No. 12)
- 27 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)
28 desosaminyl-6-O-methyl erythronolide A (Compound No. 13)
- 29 3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3,4-difluoro)benzyl]
30 desosaminyl-6-O-methyl erythronolide (Compound No. 14)
- 31 3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-cyclopropyl) desosaminyl-6-
32 O-methyl erythronolide A (Compound No. 15)
- 33 3-O-(3-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-
34 methyl erythronolide A (Compound No. 16)
- 35 3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3-hydroxy) benzyl]
36 desosaminyl-6-O-methyl erythronolide A (Compound No. 17)
- 37 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-
38 methyl erythronolide A (Compound No. 18)
- 39 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl
40 erythronolide A (Compound No. 19)
- 41 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl
42 erythronolide A (Compound No. 20)
- 43 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-
44 methyl erythronolide A (Compound No. 21)

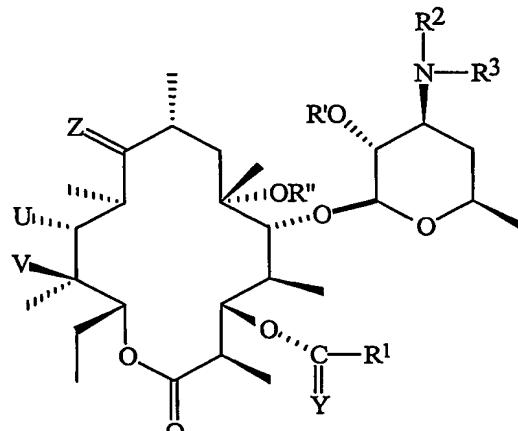
- 45 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 22)
- 47 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 23)
- 49 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-(4-nitro)benzyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 24)
- 51 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 25)
- 53 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 26)
- 55 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 27)
- 57 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 28)
- 59 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 29)
- 61 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 30)
- 63 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 31)
- 65 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 32)
- 67 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 33)
- 69 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 34)
- 71 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 35)
- 73 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-isopropyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 36)
- 75 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 37)
- 77 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-methyl erythonolide A (Compound No. 38)

- 79 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl) desosaminyl-6-
80 O-methyl erythronolide A (Compound No. 39)
- 81 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl) desosaminyl-6-
82 O-methyl erythronolide A (Compound No. 40)
- 83 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminy-6-O-methyl
84 erythronolide A (Compound No. 41)
- 85 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)
86 desosaminyl-6-O-methyl erythronolide A (Compound No. 42)
- 87 3-O-(2-Pyridyl)acetyl-5-O-[3'-N-desmethyl-3'-N-benzyl]desosaminyl-6-O-methyl
88 erythronolide A (Compound No. 43)
- 89 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl
90 erythronolide A (Compound No. 44)
- 91 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl
92 erythronolide A (Compound No. 45)
- 93 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-methyl
94 erythronolide A (Compound No. 46)
- 95 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-methyl
96 erythronolide A (Compound No. 47)
- 97 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-methyl
98 erythronolide A (Compound No. 48)
- 99 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-
100 methyl erythronolide A (Compound No. 49)
- 101 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)desosaminyl-6-
102 O-methyl erythronolide A (Compound No. 50)
- 103 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl
104 erythronolide A (Compound No. 51)
- 105 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl
106 erythronolide A (Compound No. 52)
- 107 3-O-(4-Pyridyl) acetyl-5-O-N-desmethyl-3'-N-cyclopropylmethyl) desosaminyl-6-O-
108 methyl erythronolide A (Compound No. 53)
- 109 3-O-(4-Pyridyl) acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl
110 erythronolide A (Compound No. 54)
- 111 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-
112 methyl erythronolide A (Compound No. 55)

- 113 3-O-(Phenyl)acetyl-5-O-[(3'-N-desmethyl-3'-N-cyclopropylmethyl]desoaminy-6-O-
114 methyl erythronolide A (Compound No. 56)
- 115 3-O-(Phenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-(4-fluoro)benzyl)desoaminy-6-O-
116 methyl erythronolide A (Compound No. 57)
- 117 3-O-(Phenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desoaminy-6-O-methyl
118 erythronolide A (Compound No. 58)
- 119 3-O-(2-Thiophene)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl) desosaminy-
120 6-O-methyl erythronolide A (Compound No. 59)
- 121 3-O-(2-Thiophene)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminy-6-O-methyl
122 erythronolide A (Compound No. 60)
- 123 3-O-(2-Thiophene)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminy-6-O-methyl
124 erythronolide A (Compound No. 61)
- 125 3-O-(2-Thiophene)acetyl-5-O-[3'-N-desmethyl-3'-N-(3-hydroxy) benzyl]
126 desosaminy-6-O-methyl erythronolide A (Compound No. 62)
- 127 3-O-(4-Chlorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminy-6-O-methyl
128 erythronolide A (Compound No. 63)
- 129 3-O-(4-Chlorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminy-6-O-
130 methyl erythronolide A (Compound No. 64)
- 131 3-O-(4-Chlorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3-hydroxy) benzyl]
132 desosaminy-6-O-methyl erythronolide A (Compound No. 65)
- 133 3-O-(2-Methylphenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-hydroxy) benzyl]
134 desosaminy-6-O-methyl erythronolide A (Compound No. 66)
- 135 3-O-(2-Methylphenyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)
136 desosaminy-6-O-methyl erythronolide A (Compound No. 67)
- 137 3-O-(4-Methylphenyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)
138 desosaminy-6-O-methyl erythronolide A (Compound No. 68)
- 139 3-O-(4-Methoxyphenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)
140 desosaminy-6-O-methyl erythronolide A (Compound No. 69)
- 141 3-O-(4-Methoxyphenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3,4-difluoro)
142 benzyl]desosaminy-6-O-methyl erythronolide A (Compound No. 70)
- 143 3-O-(1-Naphthyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-hydroxy) benzyl]
144 desosaminy-6-O-methyl erythronolide A (Compound No. 71)
- 145 3-O-(1-Naphthyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)
146 desosaminy-6-O-methyl erythronolide A (Compound No. 72)

- 147 3-O-(2-Naphthyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)
 148 desosaminyl-6-O-methyl erythronolide A (Compound No. 73)
- 149 3-O-(2,4-Difluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)
 150 desosaminyl-6-O-methyl erythronolide A (Compound No. 74)
- 151 3-O-(2,4-Difluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3,4-difluoro)
 152 benzyl]desosaminyl-6-O-methyl erythronolide A (Compound No. 75)
- 153 3-O-(2-Bromophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-hydroxy) benzyl]
 154 desosaminyl-6-O-methyl erythronolide A (Compound No. 76)
- 155 3-O-(2-Bromophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)
 156 desosaminyl-6-O-methyl erythronolide A (Compound No. 77)
- 157 3-O-(3-Indole)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminy-6-O-methyl
 158 erythronolide A (Compound No. 78)
- 159 3-O-(2-Naphthyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminy-6-O-methyl
 160 erythronolide A (Compound No. 79)

- 1 3. A pharmaceutical composition comprising a pharmaceutically effective amount of a
 2 compound as defined in claim 1 and 2 together with pharmaceutically acceptable
 3 carriers, excipients, or diluents.
- 1 4. A method for treating or preventing an animal or human suffering from bacterial
 2 infection caused by gram positive or gram negative or atypical pathogens comprising
 3 administering to a mammal in need of such treatment a pharmaceutically effective
 4 amount of a compound having the structure of Formula I,



Formula I

15 and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable
16 solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

17 R¹ represents: lower alkyl (C₁-C₅) group, lower alkyl (C₁-C₅) having one or more
18 halogen (F, Cl, Br, I) atoms as substituent(s), lower alkyl (C₁-C₅) amino group, lower
19 alkyl amino (C₁-C₅) carbonyl group; lower alkoxy group (C₁-C₅); or five or six
20 membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group
21 consisting of oxygen, nitrogen, and sulphur, wherein the aryl or heteroaryl ring may be
22 unsubstituted or substituted by 1 to 3 substituents independently selected from the group
23 consisting of lower alkyl (C₁-C₅) group, lower alkyl (C₁-C₅) group having one
24 or more halogen (F, Cl, Br, I) atoms, lower alkoxy (C₁-C₅) groups, lower alkyl (C₁-
25 C₅) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy
26 group, and cyano group;

27 R² and R³ are independently selected from: C₁-C₆ alkyl group optionally substituted
28 with halogen atoms (F, Cl, Br, I); cycloalkyl (C₃-C₇) group; or five to six membered
29 aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the
30 group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring
31 may be unsubstituted or substituted by 1 to 3 substituents independently selected from
32 the group consisting of lower alkyl (C₁-C₃), lower alkyl (C₁-C₃) group having one or
33 more halogen (F, Cl, Br, I) atom as substituent(s), lower alkoxy (C₁-C₃) group, lower
34 alkyl (C₁-C₃) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, or
35 cyano group; the above-mentioned C₁-C₆ alkyl group may be substituted by:
36 NHCOR⁵, NHCOOR⁵, OCOR⁵, COR⁵ wherein R⁵ represents lower alkyl (C₁-C₅); five
37 to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently
38 selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl
39 or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents
40 independently selected from the group consisting of lower alkyl (C₁-C₃), lower alkyl
41 (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower
42 alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino group, halogen (F, Cl, Br, I) atoms,
43 nitro group, hydroxy group, and cyano group; C₂-C₆ alkenyl or alkyne group
44 optionally substituted with halogen (F, Cl, Br, I) atoms or a group consisting of
45 NHCOR⁵, NHCOOR⁵, COR⁵, OCOR⁵ (wherein R⁵ is as defined above); cycloalkyl
46 (C₃-C₇) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom

47 independently selected from the group consisting of nitrogen, oxygen, and sulphur,
48 wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3
49 substituents independently selected from the group consisting of lower alkyl (C₁-C₃)
50 group, lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as
51 substituent(s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl,
52 Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group;

53 R' represents hydrogen, or a hydroxy protecting group optionally selected from acetyl,
54 benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxy methyl;

55 R'' represents hydrogen, or a lower alkyl (C₁-C₃) group;

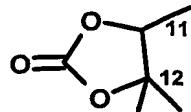
56 Y represents oxygen or sulphur;

57 Z represents an oxygen atom or a group represented by NOR⁶, wherein R⁶ represents
58 hydrogen atom, alkyl (C₁-C₆) group, alkyl (C₁-C₆) amino group, phenyl or benzyl
59 group, or phenyl or benzyl group having 1 to 5 substituent independently selected from
60 halogen (F, Cl, Br, I) atoms, lower alkyl (C₁-C₃) group, hydroxy group, nitro group,
61 cyano group, or amino group;

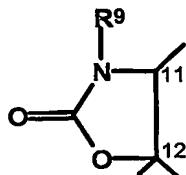
62 U represents a hydroxy group: OR⁷, wherein R⁷ represents hydroxy protecting group
63 selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or
64 methoxymethyl; or -NH(CH₂)_nR⁸, wherein n represents 0 to 4 and R⁸ represents five or
65 six membered aryl or heteroaryl ring having 1 to 4 hetero atom independently selected
66 from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or
67 heteroaryl ring may be unsubstituted or substituted by one to three substituents
68 independently selected from the group consisting of lower alkyl (C₁-C₃) group, lower
69 alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s),
70 lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl, Br, I) atoms,
71 nitro group, hydroxy group, amino group, and cyano group;

72 V represents: hydrogen atom; hydroxy group; or OR⁷, wherein R⁷ represents a
73 hydroxy protecting group selected from the group consisting of acetyl, benzoyl,
74 butyldiphenylsilyl, methylthiomethyl and methoxymethyl;

75 U and V may also together represent (with carbon atoms at the 11- and 12- positions
76 on the erythronolide skeleton): a group represented by Formula



80 or a group represented by the Formula

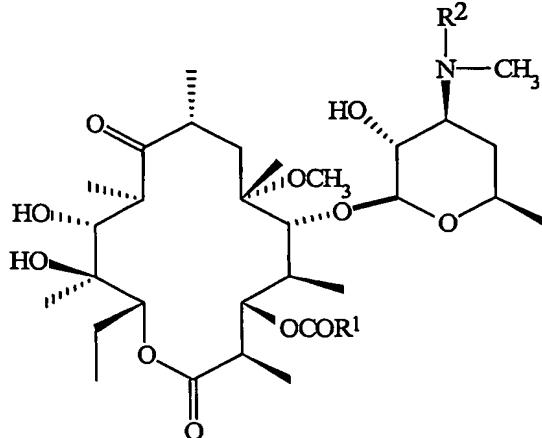


wherein R⁹ represents: hydrogen atom; alkyl (C₁-C₆) group, wherein the alkyl (C₁-C₆) may be unsubstituted or substituted by halogen (F, Cl, Br, I) atoms, five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl (C₁-C₃) group, lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group.

- 1 5. A method for treating or preventing of animal or human suffering from bacterial
 - 2 infections according to claim 4 caused by bacteria selected from the group consisting
 - 3 of *Staphylococcus aureus*, *Streptococcus pneumoniae*, *Enterococcus faecalis*,
 - 4 *Escherichia coli*, *Pseudomonas aeruginosa*, and *Haemophilus influenzae*.
 - 1 6. A method for treating or preventing an animal or human suffering from bacterial
 - 2 infection caused by gram positive or gram negative or atypical pathogens comprising
 - 3 administering to a mammal in need of such treatment therapeutically effective amount
 - 4 of a pharmaceutical composition according to claim 3.
 - 1 7. A method for treating or preventing of animal or human suffering from bacterial
 - 2 infections caused by bacteria selected from the group consisting of *Staphylococcus*
 - 3 *aureus*, *Streptococcus pneumoniae*, *Enterococcus faecalis*, *Escherichia coli*,
 - 4 *Pseudomonas aeruginosa*, and *Haemophilus influenzae*, comprising administering to a

5 mammal in need of such treatment therapeutically amount of a pharmaceutical
6 composition according to claim 3.

1 8. A process for preparing a compound of Formula I



10 **Formula I**

11 and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable
12 solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

13 R³=R''=CH₃, R'=H, U=V=OH, and Y=Z=O

14 R¹ represents: lower alkyl (C₁-C₅) group, lower alkyl (C₁-C₅) having one or more
15 halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl (C₁-C₅) amino group, lower
16 alkyl amino (C₁-C₅) carbonyl group; lower alkoxy group (C₁-C₅); or five or six
17 membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group
18 consisting of oxygen, nitrogen, and sulphur, wherein the aryl or heteroaryl ring may be
19 unsubstituted or substituted by 1 to 3 substituents independently selected from the
20 group consisting of lower alkyl (C₁-C₅) group, lower alkyl (C₁-C₅) group having one
21 or more halogen (F, Cl, Br, I) atoms, lower alkoxy (C₁-C₅) groups, lower alkyl (C₁-
22 C₅) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy
23 group, and cyano group;

24 R² and R³ are independently selected from: C₁-C₆ alkyl group optionally substituted
25 with halogen atoms (F, Cl, Br, I); cycloalkyl (C₃-C₇) group; or five to six membered
26 aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the
27 group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring
28 may be unsubstituted or substituted by 1 to 3 substituents independently selected from

29 the group consisting of lower alkyl (C₁-C₃), lower alkyl (C₁-C₃) group having one or
30 more halogen (F, Cl, Br, I) atom as substituent(s), lower alkoxy (C₁-C₃) group, lower
31 alkyl (C₁-C₃) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, or
32 cyano group; the above-mentioned C₁-C₆ alkyl group may be substituted by:
33 NHCOR⁵, NHCOOR⁵, OCOR⁵, COR⁵ wherein R⁵ represents lower alkyl (C₁-C₅); five
34 to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently
35 selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl
36 or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents
37 independently selected from the group consisting of lower alkyl (C₁-C₃), lower alkyl
38 (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower
39 alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino group, halogen (F, Cl, Br, I) atoms,
40 nitro group, hydroxy group, and cyano group; C₂-C₆ alkenyl or alkyne group
41 optionally substituted with halogen (F, Cl, Br, I) atoms or a group consisting of
42 NHCOR⁵, NHCOOR⁵, COR⁵, OCOR⁵ (wherein R⁵ is as defined above); cycloalkyl
43 (C₃-C₇) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom
44 independently selected from the group consisting of nitrogen, oxygen, and sulphur,
45 wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3
46 substituents independently selected from the group consisting of lower alkyl (C₁-C₃)
47 group, lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as
48 substituent(s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl,
49 Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group;

50 R' represents hydrogen, or a hydroxy protecting group optionally selected from acetyl,
51 benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxy methyl;

52 R'' represents hydrogen, or a lower alkyl (C₁-C₃) group;

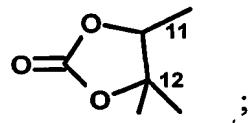
53 Y represents oxygen or sulphur;

54 Z represents an oxygen atom or a group represented by NOR⁶, wherein R⁶ represents
55 hydrogen atom, alkyl (C₁-C₆) group, alkyl (C₁-C₆) amino group, phenyl or benzyl
56 group, or phenyl or benzyl group having 1 to 5 substituent independently selected from
57 halogen (F, Cl, Br, I) atoms, lower alkyl (C₁-C₃) group, hydroxy group, nitro group,
58 cyano group, or amino group;

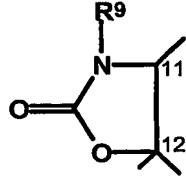
59 U represents a hydroxy group: OR⁷, wherein R⁷ represents hydroxy protecting group
 60 selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or
 61 methoxymethyl; or -NH(CH₂)_nR⁸, wherein n represents 0 to 4 and R⁸ represents five or
 62 six membered aryl or heteroaryl ring having 1 to 4 hetero atom independently selected
 63 from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or
 64 heteroaryl ring may be unsubstituted or substituted by one to three substituents
 65 independently selected from the group consisting of lower alkyl (C₁-C₃) group, lower
 66 alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s),
 67 lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl, Br, I) atoms,
 68 nitro group, hydroxy group, amino group, and cyano group;

69 V represents: hydrogen atom; hydroxy group; or OR⁷, wherein R⁷ represents a
 70 hydroxy protecting group selected from the group consisting of acetyl, benzoyl,
 71 butyldiphenylsilyl, methylthiomethyl and methoxymethyl;

72 U and V may also together represent (with carbon atoms at the 11- and 12- positions
 73 on the erythronolide skeleton): a group represented by Formula

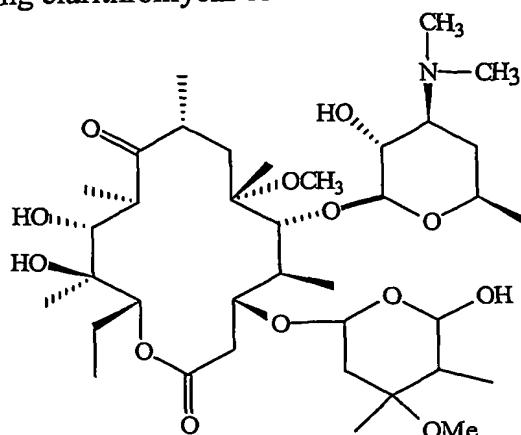


76 or a group represented by the Formula

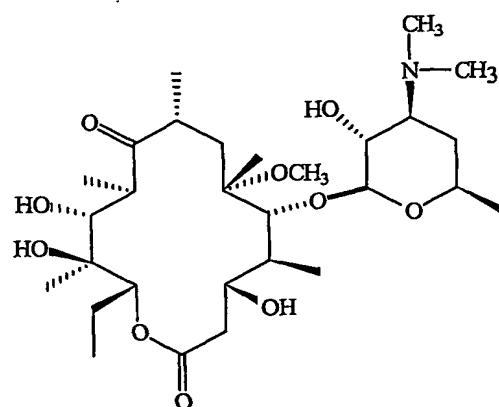


81 wherein R⁹ represents: hydrogen atom; alkyl (C₁-C₆) group, wherein the alkyl (C₁-C₆)
 82 may be unsubstituted or substituted by halogen (F, Cl, Br, I) atoms, five or six
 83 membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected
 84 from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or
 85 heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents
 86 independently selected from the group consisting of lower alkyl (C₁-C₃) group, lower
 87 alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s),
 88 lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl, Br, I) atoms,
 89 nitro group, hydroxy group, amino group, and cyano group, which method comprises:

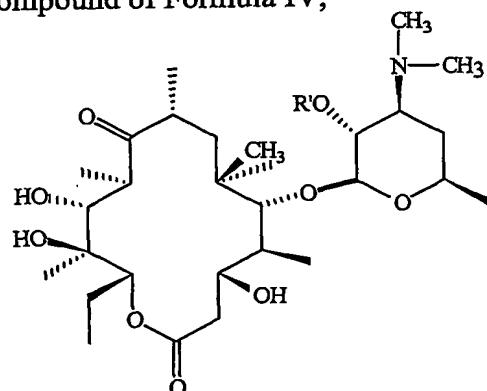
Step (1) treating clarithromycin of Formula II

**Formula II**

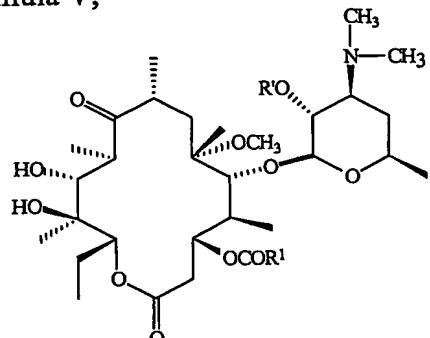
with an acid at ambient temperature to give a compound of Formula III,

**Formula III**

Step (2) reacting the compound of Formula III with a reagent of Formula R'_2O or $R'X$ (wherein R' is hydroxy protecting group optionally selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, methoxy methyl and X is an optional halogen atom) to give a compound of Formula IV,

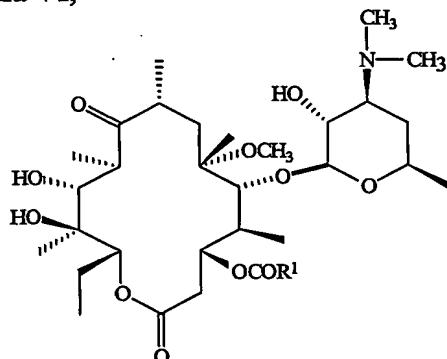
**Formula IV**

136 Step (3) reacting the compound of Formula IV with a reagent of Formula R^1COOH ,
 137 R^1COX , $(R^1CO)_2O$ or R^1COOR^4 (wherein R^1 is as defined for Formula I in claim 1
 138 and R^4 is a group selected from pivaloyl group, p-toluenesulfonyl group,
 139 isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give
 140 a compound of Formula V,



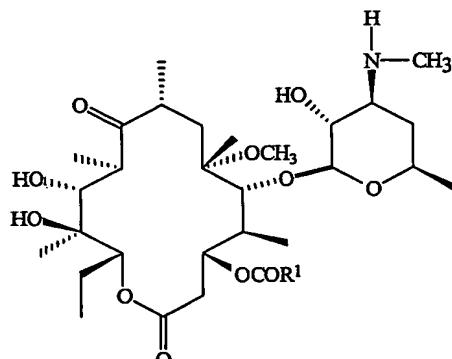
Formula V

151 Step (4) treating the compound of Formula V with aqueous alcohol to give a
 152 compound of Formula VI,



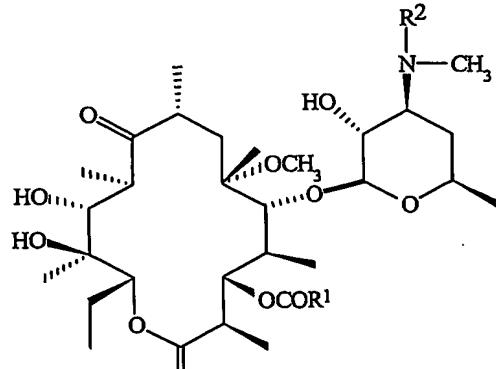
Formula VI

163 Step (5) desmethylating at 3'-N-dimethyl group of the compound of Formula VI with
 164 N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed
 165 by quench with sodium thiosulphate to give a compound of Formula VII,



Formula VII

180 Step (6) reacting the compound of Formula VII with a reagent of Formula R²CHO or
 181 R²CO (wherein R² is as defined for Formula 1 in claim 1) to give a compound of Formula
 182 I



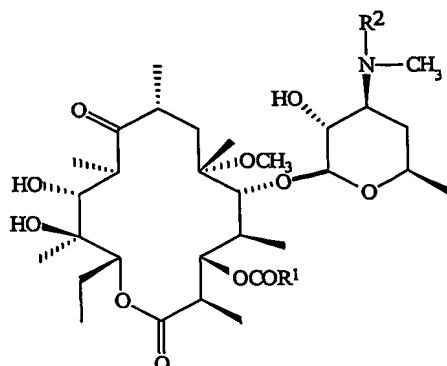
Formula I

195
 196 R³=R''=CH₃, R'=H, U=V=OH, and Y=Z=O

- 1 9. The process according to claim 8 wherein, the reaction of clarithromycin of Formula II
 2 with hydrochloric or dichloroacetic acid to give a compound of Formula III is carried
 3 out in presence of aqueous alcohol selected from the group comprising of aqueous
 4 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol.
- 1 10. The process according to claim 8 wherein, the reaction of compound of Formula III
 2 with a reagent of Formula R'₂O or R'X to give a compound of Formula IV is carried
 3 out in presence of an inorganic base selected from the group comprising of sodium
 4 hydrogen carbonate, potassium carbonate or an organic base selected from the group
 5 comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylaminopyridine.
- 1 11. The process according to claim 8 wherein, the reaction of compound of Formula III
 2 with a reagent of Formula R'₂O or R'X to give a compound of Formula IV is carried
 3 out in presence of an inert solvent selected from the group comprising of
 4 dichloromethane, dichloroetane, acetone, ethyl acetate and tetrahydrofuran.
- 1 12. The process according to claim 8 wherein, the reaction of compound of Formula IV
 2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
 3 compound of Formula V is carried out in presence of an activating agent selected from
 4 the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3-(3-
 5 dimethylaminopropyl) carbodiimide hydrochloride (EDCI).

- 1 13. The process according to claim 8 wherein, the reaction of compound of Formula IV
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula V is carried out in presence of an inorganic base selected from
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and
6 4-dimethylaminopyridine.
- 1 14. The process according to claim 8 wherein, the reaction of compound of Formula IV
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula V is carried out in presence of an inert solvent selected from the
4 group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and
5 tetrahydrofuran.
- 1 15. The process according to claim 8 wherein, the reaction of compound of Formula V is
2 carried out with aqueous alcohol selected from the group comprising of aqueous
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a
4 compound of Formula VI.
- 1 16. The process according to claim 8 wherein, the reaction of the compound of Formula
2 VII with a reagent of Formula R²CHO or R²₂CO to give a compound of Formula I is
3 carried out in presence of a reducing agent selected from the group comprising of
4 sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or
5 palladium/carbon catalyst.
- 1 17. The process according to claim 8 wherein, the reaction of the compound of Formula
2 VII with a reagent of Formula R²CHO or R²₂CO to give a compound of Formula I is
3 carried out in presence of a protic or non-protic solvent selected from the group
4 comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform,
5 tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether,
6 dimethylformamide, acetonitrile, acetone and ethyl acetate.

1 18. A Process for preparing a compound of Formula I



Formula I

and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

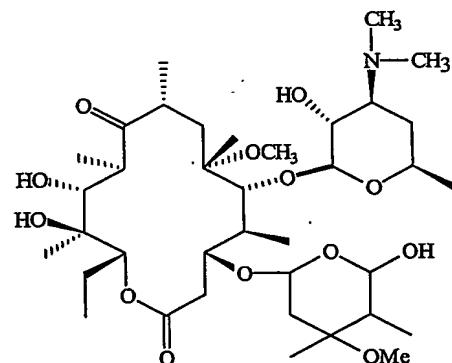
$R^3 = R'' = CH_3$, $R' = H$, $U = V = OH$, $Y = Z = O$

R^1 represents lower alkyl (C_1-C_5) group, lower alkyl (C_1-C_5) having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl (C_1-C_5) amino group, lower alkyl amino (C_1-C_5) carbonyl group, lower alkoxy group (C_1-C_5), five or six membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen, nitrogen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl (C_1-C_5) group, lower alkyl (C_1-C_5) group having one or more halogen (F, Cl, Br, I) atoms, lower alkoxy (C_1-C_5) groups, lower alkyl (C_1-C_5) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, cyano group;

R^2 is selected from C_1-C_6 alkyl group optionally substituted with halogen atoms (F, Cl, Br, I), cycloalkyl (C_3-C_7) group, five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl (C_1-C_3), lower alkyl (C_1-C_3) group having one or more halogen (F, Cl, Br, I) atom as substituent (s), lower alkoxy (C_1-C_3) group, lower alkyl (C_1-C_3) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group, C_1-C_6 alkyl group may also be substituted by a group consisting of $NHCOR^5$, $NHCOOR^5$, $OCOR^5$, COR^5 [wherein R^5 represents lower alkyl (C_1-C_5), five to six membered aryl or heteroaryl

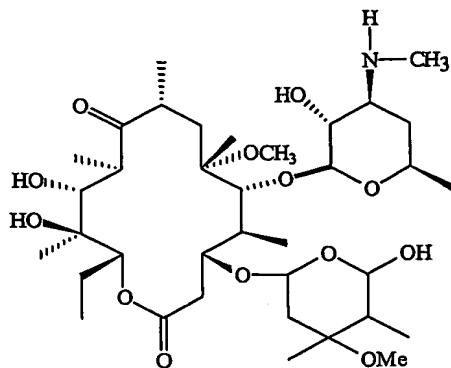
33 ring having 1 to 3 hetero atom independently selected from the group consisting of
 34 nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or
 35 substituted by 1 to 3 substituent independently selected from the group consisting of
 36 lower alkyl (C₁-C₃), lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br,
 37 I) atoms as substituent (s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino
 38 group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group]; C₂-C₆
 39 alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a
 40 group consisting of NHCOR⁵, NHCOOR⁵, COR⁵, OCOR⁵ (wherein R⁵ is as defined
 41 above); cycloalkyl (C₃-C₇) group; five or six membered aryl or heteroaryl ring having
 42 1 to 3 hetero atom independently selected from the group consisting of nitrogen,
 43 oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to
 44 3 substituents independently selected from the group consisting of lower alkyl (C₁-C₃)
 45 group, lower alkyl (C₁-C₃) group having one or more halogen (F, Cl, Br, I) atoms as
 46 substituent (s), lower alkoxy (C₁-C₃) group, lower alkyl (C₁-C₃) amino, halogen (F, Cl,
 47 Br, I) atoms, nitro group, hydroxy group, amino group, cyano group, which method
 48 comprises the steps of

49 Step (1) desmethylating at 3'-N-dimethyl group of the compound of Formula II with
 50 N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed
 51 by quench with sodium thiosulphate to give a compound of Formula VIII



Formula II

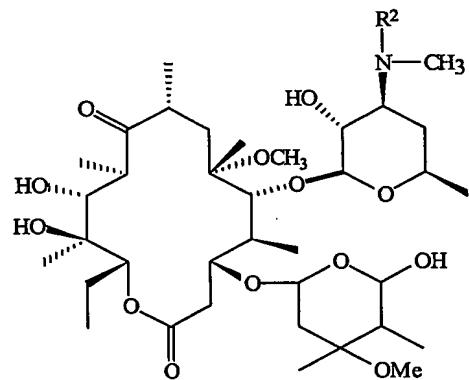
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Formula VIII

79 Step (2) reacting the compound of Formula VIII with a reagent of Formula $R^2\text{CHO}$ or
80 $R^2_2\text{CO}$ (wherein R^2 is as defined for Formula 1 in claim 1) to give a compound of
81 Formula IX

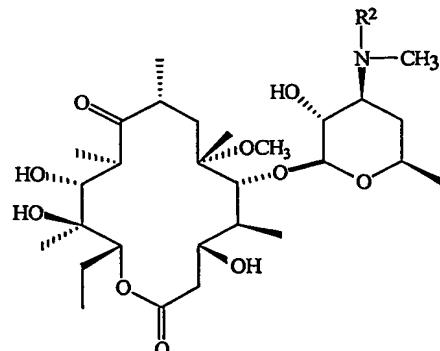
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Formula IX

95 Step (3) treating the compound of Formula IX with acid at an ambient temperature to
96 give a compound of Formula X

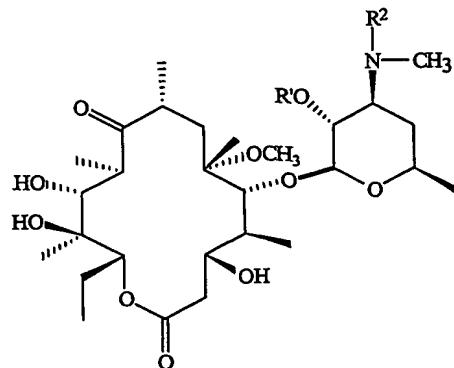
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Formula X

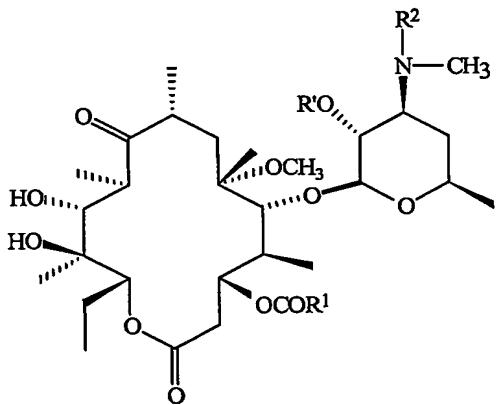
110 Step (4) reacting the compound of Formula X with a reagent of Formula $R'_2\text{O}$ or $R'\text{X}$
111 (wherein R' is hydroxy protecting group optionally selected from acetyl, benzoyl,

112 butyldiphenylsilyl, methylthiomethyl, methoxy methyl and X is an optional halogen
113 atom) to give a compound of Formula XI



Formula XI

125 Step (5) reacting the compound of Formula XI with a reagent of Formula R¹COOH,
126 R¹COX, (R¹CO)₂O or R¹COOR⁴ (wherein R¹ is as defined for Formula I in claim 1
127 and R⁴ is a group selected from pivaloyl group, p-toluenesulfonyl group,
128 isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give
129 a compound of Formula XII



Formula XII

144 Step (6) treating the compound of Formula XII with aqueous alcohol to give a
145 compound of Formula I

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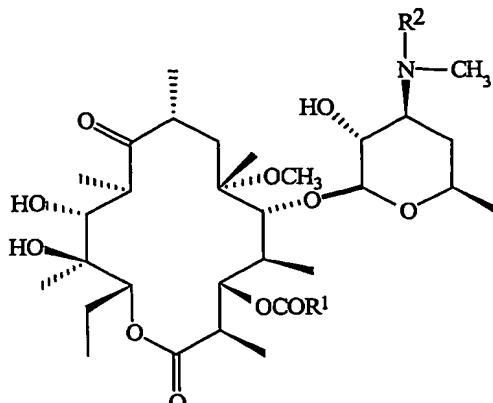
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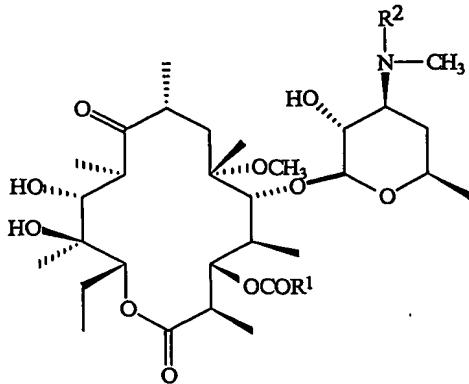
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**Formula I**

$R^3=R''=CH_3$, $R'=H$, $U=V=OH$, and $Y=Z=O$

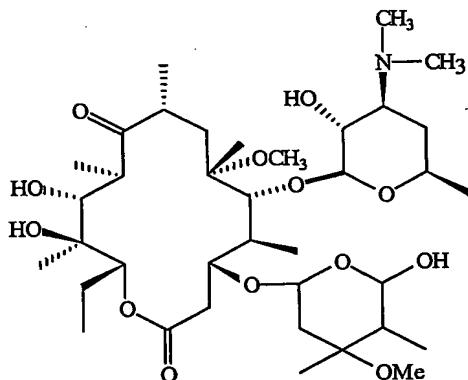
- 1 19. The process according to claim 18 wherein, the reaction of the compound of Formula VIII with a reagent of Formula R^2CHO or R^2_2CO to give a compound of Formula IX is carried out in presence of a reducing agent selected from the group comprising of sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or palladium/carbon catalyst.
- 1 20. The process according to claim 18 wherein, the reaction of the compound of Formula VIII with a reagent of Formula R^2CHO or R^2_2CO to give a compound of Formula IX is carried out in presence of a protic or non-protic solvent selected from the group comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform, tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether, dimethylformamide, acetonitrile, acetone and ethyl acetate.
- 1 21. The process according to claim 18 wherein, the reaction of compound of Formula IX with hydrochloric or dichloroacetic acid is carried out with aqueous alcohol selected from the group comprising of aqueous methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a compound of Formula X.
- 1 22. The process according to claim 18 wherein, the reaction of compound of Formula X with a reagent of Formula R'_2O or $R'X$ to give a compound of Formula XI is carried out in presence of an inorganic base selected from the group comprising of sodium hydrogen carbonate, potassium carbonate or an organic base selected from the group comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylamoniopyridine.

- 1 23. The process according to claim 18 wherein, the reaction of compound of Formula X
2 with a reagent of Formula R'₂O or R'X to give a compound of Formula XI is carried
3 out in presence of an inert solvent selected from the group comprising of
4 dichloromethane, dichloroetane, acetone, ethyl acetate and tetrahydrofuran.
- 1 24. The process according to claim 18 wherein, the reaction of compound of Formula XI
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula XII is carried out in presence of an activating agent selected
4 from the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3-(3-
5 dimethylaminopropyl) carbodiimide hydrochloride (EDCI).
- 1 25. The process according to claim 18 wherein, the reaction of compound of Formula XI
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula XII is carried out in presence of an inorganic base selected from
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and
6 4-dimethylaminopyridine.
- 1 26. The process according to claim 18 wherein, the reaction of compound of Formula XI
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula XII is carried out in presence of an inert solvent selected from
4 the group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and
5 tetrahydrofuran.
- 1 27. The process according to claim 18 wherein, the reaction of compound of Formula XII
2 is carried out with aqueous alcohol selected from the group comprising of aqueous
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a
4 compound of Formula I.

1 28. A process for preparing a compound of Formula I
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11 **Formula I**12 and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable
13 solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein14 $R^3=R''=CH_3$, $R'=H$, $U=V=OH$, $Y=Z=O$ 15 R^1 represents lower alkyl (C_1-C_5) group, lower alkyl (C_1-C_5) having one or more
16 halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl (C_1-C_5) amino group, lower
17 alkyl amino (C_1-C_5) carbonyl group, lower alkoxy group (C_1-C_5), five or six
18 membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group
19 consisting of oxygen, nitrogen, sulphur, the aryl or heteroaryl ring may be
20 unsubstituted or substituted by 1 to 3 substituent independently selected from the
21 group consisting of lower alkyl (C_1-C_5) group, lower alkyl (C_1-C_5) group having one
22 or more halogen (F, Cl, Br, I) atoms, lower alkoxy (C_1-C_5) groups, lower alkyl (C_1-
23 C_5) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy
24 group, cyano group;25 R^2 is selected from C_1-C_6 alkyl group optionally substituted with halogen atoms (F, Cl,
26 Br, I), cycloalkyl (C_3-C_7) group, five to six membered aryl or heteroaryl ring having 1
27 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen,
28 sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3
29 substituent independently selected from the group consisting of lower alkyl (C_1-C_3),
30 lower alkyl (C_1-C_3) group having one or more halogen (F, Cl, Br, I) atom as
31 substituent (s), lower alkoxy (C_1-C_3) group, lower alkyl (C_1-C_3) amino group, halogen
32 (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group, C_1-C_6 alkyl group may

33 also be substituted by a group consisting of NHCOR^5 , NHCOOR^5 , OCOR^5 , COR^5
34 [wherein R^5 represents lower alkyl (C_1-C_5), five to six membered aryl or heteroaryl
35 ring having 1 to 3 hetero atom independently selected from the group consisting of
36 nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or
37 substituted by 1 to 3 substituent independently selected from the group consisting of
38 lower alkyl (C_1-C_3), lower alkyl (C_1-C_3) group having one or more halogen (F, Cl, Br,
39 I) atoms as substituent (s), lower alkoxy (C_1-C_3) group, lower alkyl (C_1-C_3) amino
40 group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group]; C_2-C_6
41 alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a
42 group consisting of NHCOR^5 , NHCOOR^5 , COR^5 , OCOR^5 (wherein R^5 is as defined
43 above); cycloalkyl (C_3-C_7) group; five or six membered aryl or heteroaryl ring having
44 1 to 3 hetero atom independently selected from the group consisting of nitrogen,
45 oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to
46 3 substituents independently selected from the group consisting of lower alkyl (C_1-C_3)
47 group, lower alkyl (C_1-C_3) group having one or more halogen (F, Cl, Br, I) atoms as
48 substituent (s), lower alkoxy (C_1-C_3) group, lower alkyl (C_1-C_3) amino, halogen (F, Cl,
49 Br, I) atoms, nitro group, hydroxy group, amino group, cyano group, which method
50 comprises the steps of

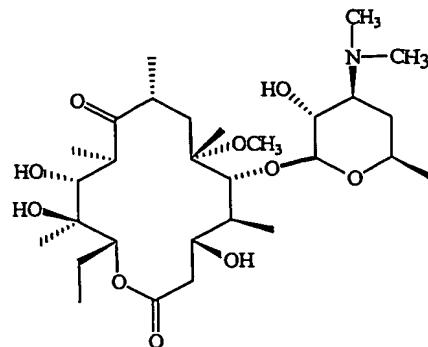
51 Step (1) treating clarithromycin of Formula II



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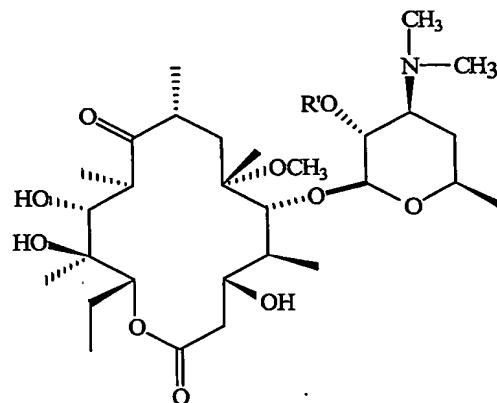
Formula II

65 with acid at ambient temperature to give a compound of Formula III
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77 **Formula III**
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79 Step (2) reacting the compound of Formula III with a reagent of Formula R'₂O or R'X
80 (wherein R' is hydroxy protecting group optionally selected from acetyl, benzoyl,
81 butyldiphenylsilyl, methylthiomethyl, methoxy methyl and X is an optional halogen
82 atom) to give a compound of Formula IV



95 **Formula IV**
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97 Step (3) reacting the compound of Formula IV with a reagent of Formula R¹COOH,
98 R¹COX, (R¹CO)₂O or R¹COOR⁴ (wherein R¹ is as defined for Formula I in claim 1
99 and R⁴ is a group selected from pivaloyl group, p-toluenesulfonyl group,
100 isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give
101 a compound of Formula V
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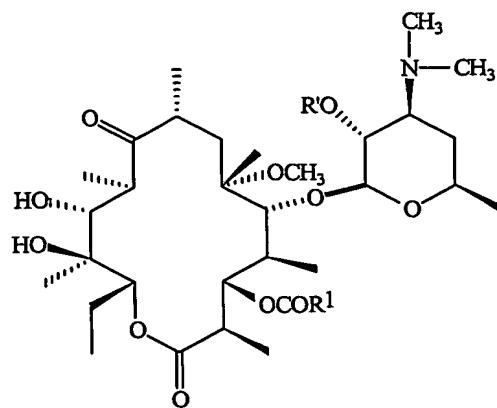
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Step (4) desmethylating at 3'-N-dimethyl group of the compound of Formula V with N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed by quench with sodium thiosulphate to obtain the compound of Formula XIII

**Formula V**

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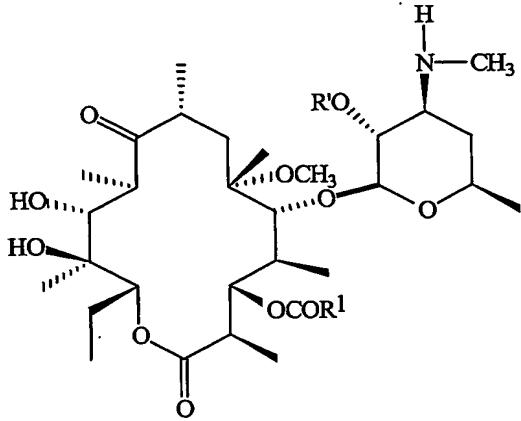
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Step (5) treating the compound of Formula XIII with aqueous alcohol to give a compound of Formula VII

**Formula XIII**

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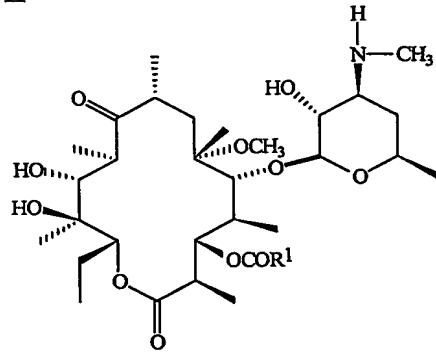
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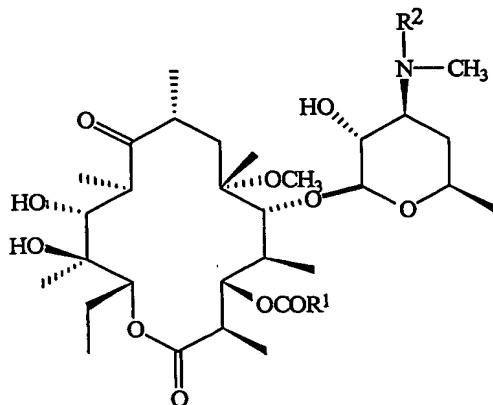
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**Formula VII**

149 Step (6) reacting the compound of Formula VII with a reagent of Formula $R^2\text{CHO}$ or
 150 $R^2_2\text{CO}$ (wherein R^2 is as defined for Formula 1 in claim 1) to give a compound of
 151 Formula I

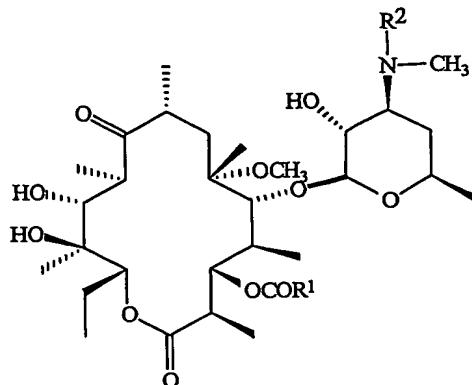


Formula I

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 166 $R^3=R''=\text{CH}_3$, $R'=\text{H}$, $U=V=\text{OH}$, and $Y=Z=\text{O}$

- 1 29. The process according to claim 28 wherein, the reaction of clarithromycin of Formula
 2 II with hydrochloric or dichloroacetic acid to give a compound of Formula III is
 3 carried out in presence of aqueous alcohol selected from the group comprising of
 4 aqueous methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol.
- 1 30. The process according to claim 28 wherein, the reaction of compound of Formula III
 2 with a reagent of Formula $R'_2\text{O}$ or $R'\text{X}$ to give a compound of Formula IV is carried
 3 out in presence of an inorganic base selected from the group comprising of sodium
 4 hydrogen carbonate, potassium carbonate or an organic base selected from the group
 5 comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylamoniopyridine.
- 1 31. The process according to claim 28 wherein, the reaction of compound of Formula III
 2 with a reagent of Formula $R'_2\text{O}$ or $R'\text{X}$ to give a compound of Formula IV is carried
 3 out in presence of an inert solvent selected from the group comprising of
 4 dichloromethane, dichloroetane, acetone, ethyl acetate and tetrahydrofuran.
- 1 32. The process according to claim 28 wherein, the reaction of compound of Formula IV
 2 with a reagent of Formula $R^1\text{COOH}$, $R^1\text{COX}$, $(R^1\text{CO})_2\text{O}$ or $R^1\text{COOR}^4$ to give a
 3 compound of Formula V is carried out in presence of an activating agent selected from
 4 the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3-(3-
 5 dimethylaminopropyl) carbodiimide hydrochloride (EDCI).

- 1 33. The process according to claim 28 wherein, the reaction of compound of Formula IV
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula V is carried out in presence of an inorganic base selected from
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and
6 4-dimethylaminopyridine.
- 1 34. The process according to claim 28 wherein, the reaction of compound of Formula IV
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula V is carried out in presence of an inert solvent selected from the
4 group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and
5 tetrahydrofuran.
- 1 35. The process according to claim 28 wherein, the reaction of compound of Formula XIII
2 is carried out with aqueous alcohol selected from the group comprising of aqueous
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a
4 compound of Formula VII.
- 1 36. The process according to claim 28 wherein, the reaction of the compound of Formula
2 VII with a reagent of Formula R²CHO or R²₂CO to give a compound of Formula I is
3 carried out in presence of a reducing agent selected from the group comprising of
4 sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or
5 palladium/carbon catalyst.
- 1 37. The process according to claim 28 wherein, the reaction of the compound of Formula
2 VII with a reagent of Formula R²CHO or R²₂CO to give a compound of Formula I is
3 carried out in presence of a protic or non-protic solvent selected from the group
4 comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform,
5 tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether,
6 dimethylformamide, acetonitrile, acetone and ethyl acetate.

1 38. A process for preparing a compound of Formula I
212 **Formula I**

13 and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable
14 solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

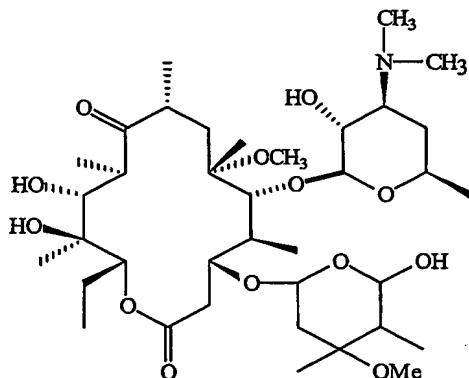
15 $\text{R}^3=\text{R}''=\text{CH}_3$, $\text{R}'=\text{H}$, $\text{U}=\text{V}=\text{OH}$, and $\text{Y}=\text{Z}=\text{O}$

16 R^1 represents lower alkyl ($\text{C}_1\text{-}\text{C}_5$) group, lower alkyl ($\text{C}_1\text{-}\text{C}_5$) having one or more
17 halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl ($\text{C}_1\text{-}\text{C}_5$) amino group, lower
18 alkyl amino ($\text{C}_1\text{-}\text{C}_5$) carbonyl group, lower alkoxy group ($\text{C}_1\text{-}\text{C}_5$), five or six
19 membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group
20 consisting of oxygen, nitrogen, sulphur, the aryl or heteroaryl ring may be
21 unsubstituted or substituted by 1 to 3 substituent independently selected from the group
22 consisting of lower alkyl ($\text{C}_1\text{-}\text{C}_5$) group, lower alkyl ($\text{C}_1\text{-}\text{C}_5$) group having one
23 or more halogen (F, Cl, Br, I) atoms, lower alkoxy ($\text{C}_1\text{-}\text{C}_5$) groups, lower alkyl ($\text{C}_1\text{-}\text{C}_5$)
24 amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy
25 group, cyano group;

26 R^2 is selected from $\text{C}_1\text{-}\text{C}_6$ alkyl group optionally substituted with halogen atoms (F, Cl,
27 Br, I), cycloalkyl ($\text{C}_3\text{-}\text{C}_7$) group, five to six membered aryl or heteroaryl ring having 1
28 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen,
29 sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3
30 substituent independently selected from the group consisting of lower alkyl ($\text{C}_1\text{-}\text{C}_3$),
31 lower alkyl ($\text{C}_1\text{-}\text{C}_3$) group having one or more halogen (F, Cl, Br, I) atom as
32 substituent (s), lower alkoxy ($\text{C}_1\text{-}\text{C}_3$) group, lower alkyl ($\text{C}_1\text{-}\text{C}_3$) amino group, halogen
33 (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group, $\text{C}_1\text{-}\text{C}_6$ alkyl group may

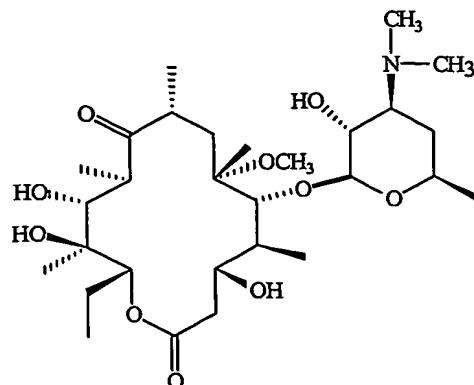
34 also be substituted by a group consisting of NHCOR^5 , NHCOOR^5 , OCOR^5 , COR^5
 35 [wherein R^5 represents lower alkyl (C_1-C_5), five to six membered aryl or heteroaryl
 36 ring having 1 to 3 hetero atom independently selected from the group consisting of
 37 nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or
 38 substituted by 1 to 3 substituent independently selected from the group consisting of
 39 lower alkyl (C_1-C_3), lower alkyl (C_1-C_3) group having one or more halogen (F, Cl, Br,
 40 I) atoms as substituent (s), lower alkoxy (C_1-C_3) group, lower alkyl (C_1-C_3) amino
 41 group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group]; C_2-C_6
 42 alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a
 43 group consisting of NHCOR^5 , NHCOOR^5 , COR^5 , OCOR^5 (wherein R^5 is as defined
 44 above); cycloalkyl (C_3-C_7) group; five or six membered aryl or heteroaryl ring having
 45 1 to 3 hetero atom independently selected from the group consisting of nitrogen,
 46 oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to
 47 3 substituents independently selected from the group consisting of lower alkyl (C_1-C_3)
 48 group, lower alkyl (C_1-C_3) group having one or more halogen (F, Cl, Br, I) atoms as
 49 substituent (s), lower alkoxy (C_1-C_3) group, lower alkyl (C_1-C_3) amino, halogen (F, Cl,
 50 Br, I) atoms, nitro group, hydroxy group, amino group, cyano group, which method
 51 comprises the steps of

52 Step (1) treating clarithromycin of Formula II



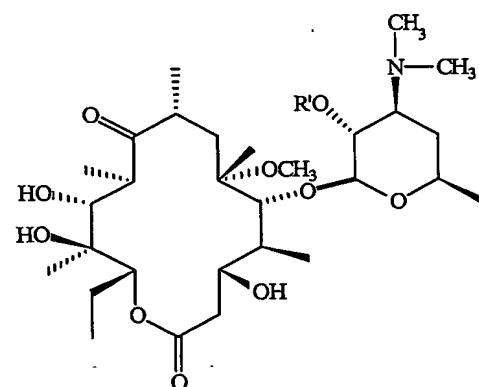
65 **Formula II**

67 with acid at ambient temperature to give a compound of Formula III



80 **Formula III**

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82 Step (2) reacting the compound of Formula III with a reagent of Formula R'₂O or R'X
83 (wherein R' is hydroxy protecting group optionally selected from acetyl, benzoyl,
84 butyldiphenylsilyl, methylthiomethyl, methoxy methyl and X is an optional halogen
85 atom) to give a compound of Formula IV



98 **Formula IV**

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100 Step (3) desmethylating at 3'-N-dimethyl group of the compound of Formula IV with
101 N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed
102 by quench with sodium thiosulphate to give a compound of Formula XIV

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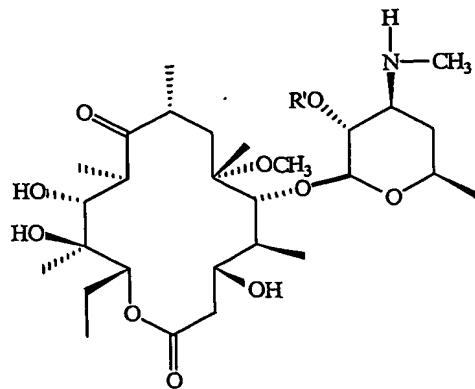
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**Formula XIV**

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Step (4) reacting the compound of Formula XIV with a reagent of Formula $R^2\text{CHO}$ or $R^2\text{CO}$ (wherein R^2 is as defined for Formula 1) to give a compound of Formula XI

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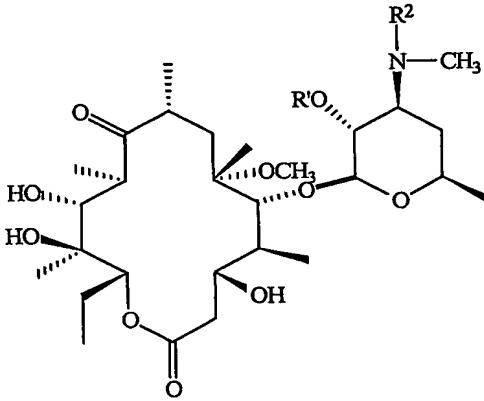
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**Formula XI**

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Step (5) reacting the compound of Formula XI with a reagent of Formula $R^1\text{COOH}$, $R^1\text{COX}$, $(R^1\text{CO})_2\text{O}$ or $R^1\text{COOR}^4$ (wherein R^1 is as defined for Formula I and R^4 is a group selected from pivaloyl group, p-toluenesulfonyl group, isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give a compound of Formula XII

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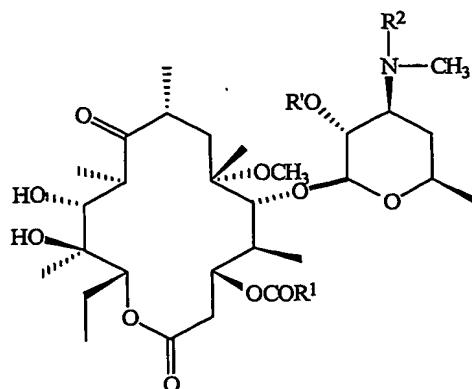
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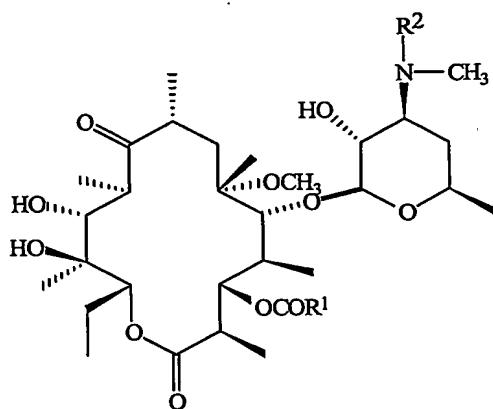
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**Formula XII**

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Step (6) treating the compound of Formula XII with aqueous alcohol to give the compound of Formula I

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**Formula I**

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$R^3=R''=CH_3$, $R'=H$, $U=V=OH$, and $Y=Z=O$

- 1 39. The process according to claim 38 wherein, the reaction of clarithromycin of Formula II with hydrochloric or dichloroacetic acid to give a compound of Formula III is carried out in presence of aqueous alcohol selected from the group comprising of aqueous methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol.
- 1 40. The process according to claim 38 wherein, the reaction of compound of Formula III with a reagent of Formula R'^2O or $R'X$ to give a compound of Formula IV is carried out in presence of an inorganic base selected from the group comprising of sodium hydrogen carbonate, potassium carbonate or an organic base selected from the group comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylamoniopyridine.

- 1 41. The process according to claim 38 wherein, the reaction of compound of Formula III
2 with a reagent of Formula R'₂O or R'X to give a compound of Formula IV is carried
3 out in presence of an inert solvent selected from the group comprising of
4 dichloromethane, dichloroetane, acetone, ethyl acetate and tetrahydrofuran.
- 1 42. The process according to claim 38 wherein, the reaction of the compound of Formula
2 XIV with a reagent of Formula R²CHO or R²₂CO to give a compound of Formula XI
3 is carried out in presence of a reducing agent selected from the group comprising of
4 sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or
5 palladium/carbon catalyst.
- 1 43. The process according to claim 38 wherein, the reaction of the compound of Formula
2 XIV with a reagent of Formula R²CHO or R²₂CO to give a compound of Formula XI
3 is carried out in presence of a protic or non-protic solvent selected from the group
4 comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform,
5 tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether,
6 dimethylformamide, acetonitrile, acetone and ethyl acetate.
- 1 44. The process according to claim 38 wherein, the reaction of compound of Formula XI
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula XII is carried out in presence of an activating agent selected
4 from the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3-(3-
5 dimethylaminopropyl) carbodiimide hydrochloride (EDCI).
- 1 45. The process according to claim 38 wherein, the reaction of compound of Formula XI
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula XII is carried out in presence of an inorganic base selected from
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and
6 4-dimethylaminopyridine.

- 1 46. The process according to claim 38 wherein, the reaction of compound of Formula XI
2 with a reagent of Formula R¹COOH, R¹COX, (R¹CO)₂O or R¹COOR⁴ to give a
3 compound of Formula XII is carried out in presence of an inert solvent selected from
4 the group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and
5 tetrahydrofuran.
- 1 47. The process according to claim 28 wherein, the reaction of compound of Formula XII
2 is carried out with aqueous alcohol selected from the group comprising of aqueous
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a
4 compound of Formula I.